1: Prog Neuropsychopharmacol Biol Psychiatry 1983;7(4-6):443-50

Adenosine receptors in the mammalian central nervous system.

Williams M.

Adenosine by interaction with discrete extracellular recognition sites can modulate cyclic AMP formation and cell firing in the mammalian CNS. The effects of adenosine on cyclic AMP formation are mediated through two extracellular recognition sites: a high affinity (Kd = 10(-9) M) site designated A-1, activation of which results in an inhibition of adenylate cyclase activity and a lower affinity site (Kd = 10(-6) M) designated A-2, activation of which stimulates adenylate cyclase activity. Stable radiolabeled analogs of adenosine have been used to label A-1 receptors in mammalian brain. Adenosine and its stable analogs are potent inhibitors of neurotransmitter release. In addition to being phosphodiesterase inhibitors, the alkylxanthines are also adenosine antagonists, stimulating neurotransmitter release and increasing cell firin by antagonism of the effects of endogenous adenosine. These effects hav been attributed to the presence of an inhibitory purinergic tone. Adenosine and related purines have been implicated in the mode of actio of several centrally active drugs including anxiolytics, antidepressants an analgesics. Future progress in understanding the potential physiological role of adenosine in the mammalian CNS will depend on the availability o more potent and specific adenosine antagonists, ligands specific for the A 2 receptor, and a better understanding of the factors that regulate adenosine availability.

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